What is Claimed Is:

1. A composition comprising a compound of formula (IX):

$$W_{6} \xrightarrow{J_{2}} J_{2}$$

$$T_{1} \xrightarrow{J_{2}} G_{1} \xrightarrow{J_{2a}} (IX)$$

5 wherein

10

30

 E_1 is -(CR₁R₁)_m1W₁;

G₁ is N₃, -CN, -OH, -OR_{6a}, -NO₂, or -(CR₁R₁)_{m1}W₂;

T₁ is -NR₁W₃, or a heterocycle;

J_{1a} are independently R₁, Br, Cl, F, I, CN, NO₂ or N₃;

J₂ and J_{2a} are independently H or R₁;

R₁ is independently H or alkyl of 1 to 12 carbon atoms;

R₂ is independently R₃ or R₄ wherein each R₄ is independently substituted with 0 to 3 R₃ groups;

15 R3 is independently F, Cl, Br, I, -CN, N3, -NO2, -OR6a, -OR1, -N(R1)2,

 $-N(R_1)(R_{6b})$, $-N(R_{6b})_2$, $-SR_1$, $-SR_{6a}$, $-S(O)R_1$, $-S(O)_2R_1$, $-S(O)OR_1$, $-S(O)OR_{6a}$,

 $-S(O)_2OR_1$, $-S(O)_2OR_{6a}$, $-C(O)OR_1$, $-C(O)R_{6c}$, $-C(O)OR_{6a}$, $-OC(O)R_1$,

 $-N(R_1)(C(O)R_1)$, $-N(R_{6b})(C(O)R_1)$, $-N(R_1)(C(O)OR_1)$, $-N(R_{6b})(C(O)OR_1)$,

 $-C(O)N(R_1)_2$, $-C(O)N(R_{6b})(R_1)$, $-C(O)N(R_{6b})_2$, $-C(NR_1)(N(R_1)_2)$,

20 $-C(N(R_{6b}))(N(R_1)_2)$, $-C(N(R_1))(N(R_1)(R_{6b}))$, $-C(N(R_{6b}))(N(R_1)(R_{6b}))$,

 $-C(N(R_1))(N(R_{6b})_2)$, $-C(N(R_{6b}))(N(R_{6b})_2)$, $-N(R_1)C(N(R_1))(N(R_1)_2)$,

 $-N(R_1)C(N(R_1))(N(R_1)(R_{6b}))$, $-N(R_1)C(N(R_{6b}))(N(R_1)_2)$,

 $-N(R_{6b})C(N(R_1))(N(R_1)_2), -N(R_{6b})C(N(R_{6b}))(N(R_1)_2),$

 $-N(R_{6b})C(N(R_1))(N(R_1)(R_{6b})), -N(R_1)C(N(R_{6b}))(N(R_1)(R_{6b})),$

25 $-N(R_1)C(N(R_1))(N(R_{6b})_2)$, $-N(R_{6b})C(N(R_{6b}))(N(R_1)(R_{6b}))$,

 $-N(R_{6b})C(N(R_1))(N(R_{6b})_2)$, $-N(R_1)C(N(R_{6b}))(N(R_{6b})_2)$,

 $-N(R_{6b})C(N(R_{6b}))(N(R_{6b})_2) = 0$, =S, =N(R₁) or =N(R_{6b});

R4 is independently alkyl of 1 to 12 carbon atoms, alkenyl of 2 to 12 carbon atoms, or alkynyl of 2 to 12 carbon atoms;

R5 is independently R4 wherein each R4 is substituted with 0 to 3 R3

groups;

5

10

15

20

30

35

R5a is independently alkylene of 1 to 12 carbon atoms, alkenylene of 2 to 12 carbon atoms, or alkynylene of 2-12 carbon atoms any one of which alkylene, alkenylene or alkynylene is substituted with 0-3 R3 groups;

R6a is independently H or an ether- or ester-forming group;

R_{6b} is independently H, a protecting group for amino or the residue of a carboxyl-containing compound;

 R_{6c} is independently H or the residue of an amino-containing compound;

W₁ is a group comprising an acidic hydrogen, a protected acidic group, or an R₆c amide of the group comprising an acidic hydrogen;

W2 is a group comprising a basic heteroatom or a protected basic heteroatom, or an R6b amide of the basic heteroatom;

W3 is W4 or W5;

W4 is R5 or -C(O)R5, -C(O)W5, -SO₂R5, or -SO₂W5;

W5 is carbocycle or heterocycle wherein W5 is independently substituted with 0 to 3 R2 groups;

 W_6 is -R₅, -W₅, -R_{5a}W₅, -C(O)OR_{6a}, -C(O)R_{6c}, -C(O)N(R_{6b})₂, -C(NR_{6b})(N(R_{6b})₂), -C(NR_{6b})(N(H)(R_{6b})), -C(N(H)(N(R_{6b})₂), -C(S)N(R_{6b})₂, or -C(O)R₂; and

each m₁ is independently an integer from 0 to 2; provided, however, that compounds are excluded wherein J_{1a} is H, each J_2 is H, J_{2a} is H and T_1 is -N(H)(Ac) and:

25 E_1 is -CO₂H or -CO₂CH₃, G_1 is -OBoc, and W_6 is Boc;

 E_1 is -CO₂H or -CO₂CH₃, G_1 is -OH, and W_6 is H;

 E_1 is -CO₂H, -CO₂CH₃ or -CO₂Bn G_1 is -OH, and W_6 is Boc;

 E_1 is -CONH₂, G_1 is -OH, and W_6 is Boc or H;

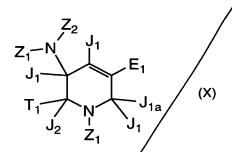
5

E₁ is -CO₂H or -CO₂CH₃, G₁ is OH, and W₆ is Bn; or

10 E_1 is -CO₂H or -CO₂CH₃, G_1 is -OH, and W_6 is -CH₂CH(OH)CH₂(OH);

wherein Bn is benzyl and Boc is -CO₂C(CH₃)₃; 15 and the salts, solvates, resolved enantiomers and purified diastereomers thereof.

2. A composition comprising a compound of formula (X):



wherein

one Z_1 is W_6 and the other Z_1 is G_1 ;

 Z_2 is H or W_6 ;

E₁ is $-(CR_1R_1)_{m_1}W_1$;

G₁ is -OH, -OR_{6a} or -(CR₁R₁/)_{m1}W₂;

T₁ is -NR₁W₃ or a heterocycle;

J₁ and J_{1a} are independently R₁, Br, Cl, F, I, CN, NO₂ or N₃;

J₂ is H or R₁;

R₁ is independently H/or alkyl of 1 to 12 carbon atoms;

R2 is independently R3 or R4 wherein each R4 is independently

substituted with 0 to 3 R3 groups;

R3 is independently F, Cl, Br, \mathbb{I} , -CN, \mathbb{N}_3 , -NO₂, -OR_{6a}, -OR₁, -N(R₁)₂,

 $-N(R_1)(R_{6b})$, $-N(R_{6b})_2$, $-S(R_1)$, $-S(R_2)$, $-S(R_1)$, $-S(R_2)$

 $-S(O)_2OR_1$, $-S(O)_2OR_{6a}$, $-C(O)OR_1$, $-C(O)R_{6c}$, $-C(O)OR_{6a}$, $-OC(O)R_1$,

 $-N(R_1)(C(O)R_1)$, $-N(R_{6b})(C(O)R_1)$, $-N(R_1)(C(O)OR_1)$, $-N(R_{6b})(C(O)OR_1)$,

 $-C(O)N(R_1)_2$, $-C(O)N(R_{6b})(R_1)$, $-C(O)N(R_{6b})_2$, $-C(NR_1)(N(R_1)_2)$,

20 $-C(N(R_{6b}))(N(R_1)_2)$, $-C(N(R_1))(N(R_1)(R_{6b}))$, $-C(N(R_{6b}))(N(R_1)(R_{6b}))$,

 $-C(N(R_1))(N(R_{6b}/_2), -C(N(R_{6b}))(N(R_{6b})_2), -N(R_1)C(N(R_1))(N(R_1)_2),$

 $-N(R_1)C(N(R_1))(N(R_1)(R_{6b}))$, $-N(R_1)C(N(R_{6b}))(N(R_1)_2)$,

 $-N(R_{6b})C(N(R_{1}))(N(R_{1})_{2}), -N(R_{6b})C(N(R_{6b}))(N(R_{1})_{2}),$

 $-N(R_{6b})C(N(R_1))(N(R_1)(R_{6b})), -N(R_1)C(N(R_{6b}))(N(R_1)(R_{6b})),$

25 $-N(R_1)C(N(\cancel{R}_1))(N(R_{6b})_2)$, $-N(R_{6b})C(N(R_{6b}))(N(R_1)(R_{6b}))$,

 $-N(R_{6b})C(N(R_{1}))(N(R_{6b})_{2}), -N(R_{1})C(N(R_{6b}))(N(R_{6b})_{2}),$

 $-N(R_{6b})C(N(R_{6b}))(N(R_{6b})_2)$, =O, =S, =N(R₁) or =N(R_{6b});

R4 is independently alkyl of 1 to 12 carbon atoms, alkenyl of 2 to 12

carbon atoms, or alkynyl of 2 to 12 carbon atoms;

R5 is independently R4 wherein each R4 is substituted with 0 to 3 R3 groups;

10

15

20

R_{5a} is independently alkylene of 1 to 12 carbon atoms, alkenylene of 2 to 12 carbon atoms, or alkynylene of 2-12 carbon atoms any one of which alkylene, alkenylene or alkynylene is substituted with 0/3 R₃ groups;

R6a is independently H or an ether- or ester-forming group;

R_{6b} is independently H, a protecting group for amino or the residue of a carboxyl-containing compound;

R_{6c} is independently H or the residue of an amino-containing compound;

 W_1 is a group comprising an acidic hydrogen, a protected acidic group, or an R_{6c} amide of the group comprising an acidic hydrogen;

W₂ is H or a group comprising a basic heteroatom or a protected basic heteroatom, or an R_{6b} amide of the basic heteroatom;

W3 is W4 or W5;

W4 is R5 or -C(O)R5, -C(O)W5, -SO₂R5, or -SO₂W5;

W5 is carbocycle or heterocycle wherein W5 is independently substituted with 0 to 3 R2 groups;

 $W_6 \text{ is -R5, -W5, -R5aW5, -C(O)OR6a, -C(O)R6c, -C(O)N(R6b)2, -C(NR6b)(N(R6b)2), -C(NR6b)(N(H)(R6b)), -C(N(H)(N(R6b)2), -C(S)N(R6b)2, or -C(O)R2; }$

each m₁ is independently an integer from 0 to 2; and the salts, solvates, resolved enantiomers and purified diastereomers thereof.

- 3. The composition of Claim 1 wherein further excluded are compounds wherein G_1 is -OH, -OR $_{6a}$.
- 4. The composition of Claim 1 wherein G₁ is -NR₁W₃.
- 5. The composition of Claim 1 wherein the compound is of the formula:

$$W_{3} \xrightarrow{N} W_{1}$$

$$\downarrow E_{1} \qquad \downarrow E_{N} \qquad \downarrow E_{1}$$

$$W_{3} \xrightarrow{N} R_{1} \qquad (XI)$$

10 6. The composition of Claim 2 wherein the compound is of the formula:

$$W_{6} \xrightarrow{R_{1}} U_{1} \xrightarrow{L_{1}} U_{1} \xrightarrow{L_{1}} U_{1} \xrightarrow{(XIII)} U_{1} \xrightarrow{L_{1}} U_{$$

- 7. The composition of Claim 6 wherein G₁ is R₆b.
- 8. The composition of Claim 6 wherein R₁ is H.
- 9. The composition of Claim 2 wherein the compound is of the formula:

$$W_6 - N_{\parallel}$$
 $W_3 - N_{\parallel}$
 $R_1 - R_{6b}$
 W_1
 $W_3 - N_{\parallel}$
 $R_1 - R_{6b}$
 W_1
 $R_2 - N_{\parallel}$
 $R_3 - N_{\parallel}$
 $R_4 - R_{6b}$
 $R_5 - R_{6b}$

20

15

35

- 10. The composition of Claim 1 or 2 wherein R_{6a} is H or a protecting group for hydroxyl or thio.
- 11. The composition of Claim 1 or 2 wherein W6 is C1-C3 alkyl substituted with 1 to 3 OR6a or SR6a, which OR6a or SR6a groups are stable to hydrolysis in gastrointestinal fluid.
 - 12. The composition of Claim 1 or 2 wherein W₆ is $-(CH_2)_{m1}CH((CH_2)_{m3}R_3)_2$, $-(CH_2)_{m1}C((CH_2)_{m3}R_3)_3$;
- 10 -(CH₂)_m1CH((CH₂)_m3R_{5a}W₅)₂; -(CH₂)_m1CH((CH₂)_m3R₃)((CH₂)_m3R_{5a}W₅); -(CH₂)_m1C((CH₂)_m3R₃)₂(CH₂)_m3R_{5a}W₅), (CH₂)_m1C((CH₂)_m3R_{5a}W₅)₃ or -(CH₂)_m1C((CH₂)_m3R₃)((CH₂)_m3R_{5a}W₅)₂ and m₃ is an integer from 1 to 3.
 - 13. The composition of Claim 1 or 2 wherein W_6 is -R₅, -W₅ or -R₅aW₅.
- 15
 14. The composition of Claim 1 or 2 wherein W₆ is R₅.
 - 15. The composition of Claim 14 wherein said R5 is R4 substituted with 0 to 3 -OR1.
 - 16. The composition of Claim 14 wherein said R_5 is R_4 substituted with 0 to 3 -NO2 or N3 groups.
- 17. The composition of Claim 15 wherein said -OR1 is present and at least one of said R1 is C4-C12.

18. The composition of Claim 1 or 2 wherein W₆ is a branched chain R₅ group.

- 19. The composition of Claim 18 wherein said R5 is a branched R4 group.
 - 20. The composition of Claim 1 or 2 wherein W_6 is R_{5e} wherein R_{5e} is normal or secondary alkyl of 1 to 12 carbon atoms substituted with 1-3 OR_{1a} or SR_{1a} wherein R_{1a} is C_1 - C_4 alkyl.
 - 21. The composition of Claim 20 provided that when W6 is R5 substituted

- with 1 to 3 R3 groups and at least one R3 group is OH, COOH, NH2, C(O)H, C(O)NH2, S(O)2OH, S(O)OH, N(H)(C(O)OH), C(N(H))NH2, N(H)(C(NH2)N(H)), =O, or =N(H), then said R5 is substituted with a single OH, COOH, NH2, C(O)H, C(O)NH2, S(O)2OH, S(O)OH, N(H)(C(O)OH),
- 5 $C(N(H))NH_2$, $N(H)(C(NH_2)N(H))$, =O, or =NH group.
 - 22. The composition of Claim 21 wherein said R5 is alkyl of 4 to 8 carbon atoms substituted with 0 to 3 R3 groups.
- 10 23. The composition of Claim 21 wherein said R5 is substituted with 0 to 2 R3 groups.
 - 24. The composition of Claim 23 wherein said R₅ is substituted with 1 to 2 R₃ groups and at least one said R₃ group is -OH, -COOH, -NH₂, -C(O)H,
- 15 -C(O)NH₂, -S(O)₂OH, -S(O)OH, -N(H)(C(O)OH), -C(N(H))NH₂, -N(H)C((NH₂)N(H)), =O, or =NH.

25. The composition of Claim 1 or 2 wherein W₆ is R₄ having 1 to 7 carbon atoms.

- 26. The composition of Claim 1 or 2 wherein said W₆ is not C₁-C₃ alkyl substituted with OH or OH protected with an aralkyl, acyl, a silicon protecting group or a tetrahydropyran.
- 25 27. The composition of Claim 26 wherein the aralkyl protecting group is benzyl, triphenylmethyl or diphenylmethyl; the acyl group is acetyl; and the silicon protecting group is trimethylsilyl.
 - 28. The composition of Claim 1 wherein
- 30 G₁ is -NHR₁, -N(R_{6b})(R₁), -N(R_{6b})₂, -N(H)(R₅), -N(R_{6b})(R₅), -N(R₅)₂-C(NH)(NH₂), -N(R₁)C(NR₁)(NR₁R₃), -NHC(NH)(NHR₃), -NHC(NH)(NHR₁), -NHC(NH)NH₂), -CH(CH₂NHR₁)(CH₂OH),
 - -CH(CH₂NHR₁)(CH₂NHR₁), -CH(NHR₁)-(CR₁R₁)_{m2}-CH(NHR₁)R₁,
 - -CH(OH)-(CR₁R₁)_{m2}-CH(NHR₁)R₁, or -CH(NHR₁)-(CR₁R₁)_{m2}-CH(OH)R₁,
- 35 - $(CR_1R_1)_{m2}$ -S-C(NH)NH₂, -N=C(NHR₁)(R₃) or -N=C(NHR₁)(R₁); and m² is

15

independently an integer from 0 to 1.

- 29. The composition of Claim 2 wherein G₁ is H, -NHR₁, -N(R_{6b})(R₁), -N(R_{6b})₂, -N(H)(R₅), -N(R_{6b})(R₅), -N(R₅)₂, -C(NH)(NH₂), -CH(CH₂NHR₁)(CH₂OH), -CH(CH₂NHR₁)(CH₂NHR₁), -CH(NHR₁)-(CR₁R₁)_{m2}-CH(NHR₁)R₁, -CH(OH)-(CR₁R₁)_{m2}-CH(NHR₁)R₁, or -CH(NHR₁)-(CR₁R₁)_{m2}-CH(OH)R₁, or -(CR₁R₁)_{m2}-S-C(NH)NH₂; and m² is independently an integer from 0 to 1.
- 30. The composition of Claim 1 or 2 wherein W_1 is -CO₂R₁.
- 31. The composition of Claim 1 or 2 wherein E₁ is selected from the group consisting of: phenethyl ester of carboxyl,

- 32. The composition of Claim 1 wherein G₁ is amino, amidino or guanidino, or amino, amidino or guanidino substituted with C₁ C₆ alkyl.
- 33. The composition of Claim 1 wherein G₁ is selected from the group consisting of: C₁-C₆ monoalkylamine,

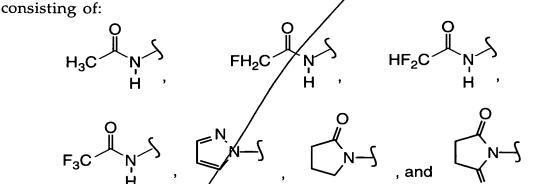
$$\stackrel{\text{H}}{\stackrel{\text{I}}{\checkmark}} \text{CH}_3$$
, $\stackrel{\text{H}}{\stackrel{\text{I}}{\checkmark}} \text{CH}_3$, $\stackrel{\text{H}}{\stackrel{\text{I}}{\checkmark}} \text{CH}_3$,

The composition of Claim 1 or 2 wherein W₃ is -C(O)-R₅.

35. The composition of Claim 1 or 2 wherein W6 is an alkyl of 1 to 6 carbon atoms substituted with 0 to 3 F, Br, Cl, N3, NO2 or CN.

36. The composition of Claim 1/or 2 wherein W₅ is selected from the group consisting of:

37.



The composition of Claim 1 or 2 wherein T₁ is selected from the group

38. The composition of Claim 2 wherein J₁ is H, C₁-C₂ alkyl or F.

The composition of Claim 1 or 2 wherein J_{1a} is H.

40. The composition of Claim 1 wherein J_{2a} is H or C_1 - C_2 alkyl.

10 41. The composition of Claim 1 wherein J_{2a} is H.

42. The composition of Claim 1 or 2 wherein W₆ is secondary or tertiary alkyl containing 4 to 12 carbon atoms which W₆ is unsubstituted or substituted with NO₂ N₃, F, Br, Cl, OR₁ or SR₁.

43. The composition of Claim 42 which is substituted with nitro, azido or F.

The composition of Claim 1 or 2 wherein W₆ is -(CH₂)_{m1}CH(R₁)_aW₇ wherein W₇ is an alkyl of 1 to 4 carbon atoms substituted with 0 to 3 R₃, a is 0 or 1, and when a is 0 then W₇ is joined to CH by a double bond.

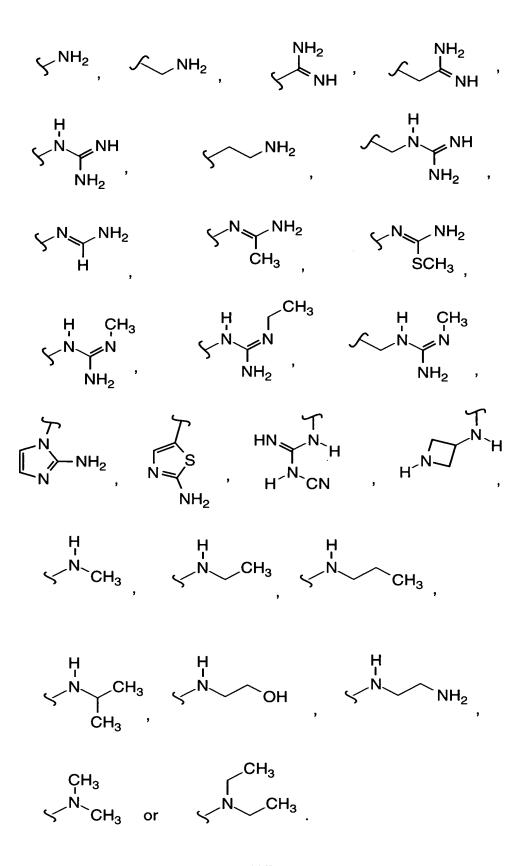
- 45. The composition of Claim 44 wherein W₆ is -CH₂CH(R₁)W₇.
- 25 46. The composition of Claim 45 wherein W7 is -CH2OR1 and R1 is C4-C12 alkyl.

(CH₃CH₂)/47. The composition of Claim 1 or 2 wherein W₆ is (CH₃CH₂)₂CH-, (CH₃CH₂)(CH₃)(H)C-, (CH₃)₂CHCH₂-, CH₃(CH₂)₄-, CH₃(CH₂)₃-, CH₃(CH₂)₂-, (CH₃CH₂)(CH₃)₂C-, (CH₃CH₂)(CH₃CH₂)(H)C-,

(CH₃CH₂CH₂)(CH₃CH₂)(H)C-/(CH₃CH₂CH₂)(CH₃CH₂CH₂)(H)C-, (PhCH₂CH₂)(PhCH₂CH₂)(H)C-, (PhCH₂)(PhCH₂)(H)C-, (PhCH₂)(PhCH₂)(H)C-, cyclohexyl- or cyclopentyl-.

5 48. The composition of Claim 1 wherein: E₁ is -COOR₅,

10 G_1 is $-N(R_5)_2$, $-NH(R_5)_2$,



and W₆ is an alkyl of 1 to 12 carbon atoms, alkenyl of 2 to 12 carbon atoms, or alkynyl of 2 to 12 carbon atoms and W₆ is substituted with 0 to 3 groups selected from the group consisting of F, Cl, Br, I, -CN, NO₂, N₃, -OR_{6a}, -NR_{6b}R_{6b}, -SR_{6a}, -O-C(O)R_{6a}, or -NR_{6b}-C(O)R_{6a}.

- 49. The composition of Claim 48 wherein W6 is selected from the group consisting of (CH3CH2)2CH-, (CH3CH2)(CH3)(H)C-, (CH3)2(H)C-, (CH3)2CHCH2-, CH3(CH2)4-, CH3(CH2)3-, CH3(CH2)2-, (CH3CH2)(CH3)2C-, (CH3CH2)(CH3CH2)(H)C-, (CH3CH2)(CH3CH2)(H)C-, (CH3CH2)(CH3CH2)(H)C-, (PhCH2CH2)(CH3CH2)(H)C-, (PhCH2CH2)(PhCH2CH2)(H)C-, (PhCH2)(CH3CH2)(H)C-, (PhCH2)(PhCH2)(H)C-, cyclohexyl- or cyclopentyl-.
- 15 50. The composition of Claim 2 wherein: E₁ is -COOR₅,

20 G₁ is H; and

25

W6 is an alkyl of 1 to 12 carbon atoms, alkenyl of 2 to 12 carbon atoms, or alkynyl of 2 to 12 carbon atoms and W6 is substituted with 0 to 3 groups selected from the group consisting of F, Cl, Br, I, -CN, NO₂, N3, -OR $_{6a}$, -NR $_{6b}$ R $_{6b}$, -SR $_{6a}$, -O-C(O)R $_{6a}$, or -NR $_{6b}$ -C(O)R $_{6a}$.

51. The composition of Claim 50 wherein W₆ is selected from the group consisting of (CH₃CH₂)₂CH-, (CH₃CH₂)(CH₃)(H)C-, (CH₃)₂CHCH₂-, CH₃(CH₂)₄-, CH₃(CH₂)₃-, CH₃(CH₂)₂-, (CH₃CH₂)(CH₃)₂C-,

(CH₃CH₂)(CH₃CH₂)(H)C-, (CH₃CH₂CH₂)(CH₃CH₂)(H)C-,

(CH₃CH₂CH₂)(CH₃CH₂CH₂)(H)C-, (PhCH₂CH₂)(CH₃CH₂)(H)C-, (PhCH₂CH₂)(PhCH₂CH₂)(H)C-, (PhCH₂)(PhCH₂)(H)C-, cyclohexyl- or cyclopentyl-.

- 5 52. The composition of Claim 1 or 2 wherein E₁ is -COOH, or a carboxyl ester or carboxylamide that is hydrolyzable *in vivo* to -COOH.
 - 53. The composition of Claim 1 or 2 further comprising a pharmaceutically-acceptable carrier.
 - 54. A compound named in Table 6.

55. A method of inhibiting the activity of neuraminidase comprising the step of contacting a sample suspected of containing neuraminidase with the composition of Claim 1 or 2.